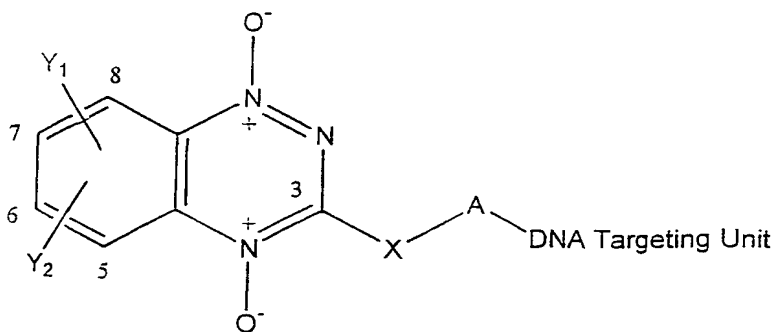


What we claim is:

1. A compound of Formula I,



wherein

$Y_1$  and  $Y_2$  at one or more of the available carbons 5-8 on the benzo ring: are each independently selected from the following groups: halo, H, R, OH, OR,  $NO_2$ ,  $NH_2$ ,  $NHR$ ,  $NR_2$ , SH, SR,  $SO_2R$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R$ , CHO, COR,  $CONH_2$ ,  $CONHR$  or  $CONRR$ , cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

wherein each R is independently selected from an optionally substituted  $C_{1-6}$  alicyclic or an optionally substituted  $C_{3-6}$  cyclic alkyl group, and wherein the said optional substituents are each independently selected from; halo, OH,  $OR^1$ ,  $NO_2$ ,  $NH_2$ ,  $NHR^1$ ,  $NR^1R^1$ , SH,  $SR^1$ , imidazolyl,  $R^1$ -piperazinyl, morpholino,  $SO_2R^1$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^1$ , CHO,  $COR^1$ ,  $CONH_2$ ,  $CONHR^1$ ,  $CONR^1R^1$ ;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH,  $OR^1$ ,  $NH_2$ ,  $NHR^1$ ,  $NR^1R^1$ , SH,  $SR^1$ , imidazolyl,  $R^1$ -piperazinyl, morpholino,  $SO_2R^1$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^1$ , CHO,  $COR^1$ ,  $CONH_2$ ,  $CONHR^1$ ,  $CONR^1R^1$ , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each  $R^1$  is independently selected from an optionally substituted  $C_{1-4}$  alkyl or an optionally substituted  $C_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH, OR,  $NH_2$ ,  $NHR^2$ ,  $NR^2_2$  or  $N(OH)R^2$  wherein each  $R^2$  is independently selected from  $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl, OH,  $NO_2$ ,  $NH_2$ ,  $CF_3$ , CN,  $CO_2H$  or SH, and

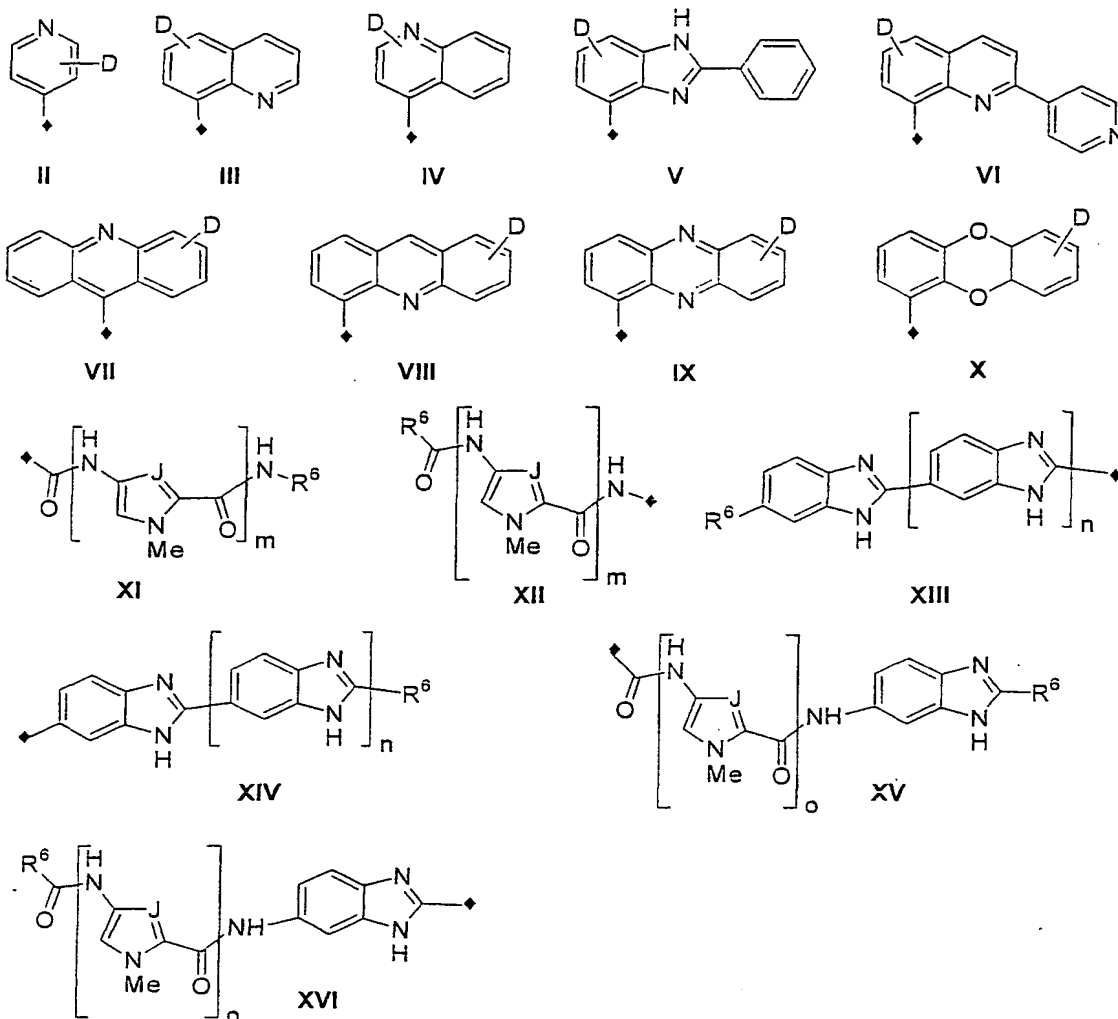
wherein X is selected from NH, NMe, CH<sub>2</sub>, SO, SO<sub>2</sub>, or O;

A is an optionally substituted C<sub>1-12</sub> alkyl group wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>3</sup>, NR<sup>3</sup><sub>2</sub>, or N(OH)R<sup>3</sup>

5 wherein each R<sup>3</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the optionally substituted C<sub>1-12</sub> alkyl chain is optionally interrupted or extended by one or more heteroatom containing linkage moieties selected from O, NH, NR<sup>4</sup>, CONH, CONR<sup>4</sup>, NHCO, NR<sup>4</sup>CO, where each R<sup>4</sup> is independently selected from an optionally substituted

10 C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional R<sup>4</sup> substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>5</sup>, NR<sup>5</sup><sub>2</sub> or N(OH)R<sup>5</sup> wherein each R<sup>5</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the DNA-targeting unit is any moiety of a molecular weight below 700 Daltons that  
5 has an association constant (K) for binding to double-stranded random-sequence DNA of >10<sup>3</sup> M<sup>-1</sup> at an ionic strength of 0.01 M at 20 °C, or a pharmacologically acceptable salt thereof.

2. The compound of Formula I as claimed in claim 1 wherein the DNA-targeting  
15 unit is selected from one of formulae II- XVI,



wherein in structures **XI-XVI**  $R^6$  is independently selected from an optionally substituted  $C_{1-6}$  alicyclic or an optionally substituted  $C_{3-6}$  cyclic alkyl group, and

5 wherein the optional substituents are each independently selected from; halo, OH,  $OR^7$ ,  $NO_2$ ,  $NH_2$ ,  $NHR^7$ ,  $NR^7R^7$ ,  $SR^7$ , imidazolyl,  $R^7$ -piperazinyl, morpholino,  $SO_2R^7$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^7$ , CHO,  $COR^7$ ,  $CONH_2$ ,  $CONHR^7$ ,  $CONR^7R^7$ ;

$R^6$  can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents

10 are each independently selected from; halo, OH,  $OR^7$ ,  $NH_2$ ,  $NHR^7$ ,  $NR^7R^7$ , SH,  $SR^7$ , imidazolyl,  $R^7$ -piperazinyl, morpholino,  $SO_2R^7$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^7$ , CHO,  $COR^7$ ,  $CONH_2$ ,  $CONHR^7$ ,  $CONR^7R^7$ , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O,

N or S;

wherein each  $R^7$  is independently selected from an optionally substituted  $C_{1-4}$  alkyl or an optionally substituted  $C_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH,  $OR^8$ ,  $NH_2$ ,  $NHR^8$ ,  $NR^8_2$  or  $N(OH)R^8$  wherein each  $R^8$  is independently selected from  $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl, OH,  $NO_2$ ,  $NH_2$ ,  $CF_3$ , CN,  $CO_2H$  or SH;

wherein D represents up to four of the following groups as substituents at any available ring carbon position; H,  $R^9$ , hydroxy, alkoxy, halogen,  $NO_2$ ,  $NH_2$ ,  $NHR^9$ ,  $NR^9_2$ , SH,  $SR^9$ ,  $SO_2R^9$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^9$ , CHO,  $COR^9$ ,  $CONH_2$ ,  $CONHR^9$  or  $CONR^9R^9$ , cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino, wherein each  $R^9$  is independently selected from an optionally substituted  $C_{1-4}$  alkyl or an optionally substituted  $C_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH,  $OR^{10}$ ,  $NH_2$ ,  $NHR^{10}$ ,  $NR^{10}_2$  or  $N(OH)R^{10}$  wherein each  $R^{10}$  is independently selected from  $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl, OH,  $NO_2$ ,  $NH_2$ ,  $CF_3$ , CN,  $CO_2H$  or SH; and wherein any available ring carbon position of formulae II - XVI is optionally replaced by -N- when the valency and configuration of the formula allows, the point of attachment of formulae II- XVI to the A group defined above is represented by ♦; and

wherein in formulae XI, XII, , m is selected from 2, 3 or 4, and

wherein in formulae XI, XII, XV and XVI, J is selected from CH or N; and wherein in formulae XIII and XIV n is selected from 0, 1 or 2; and wherein in formulae XV and XVI o is selected from 1 and 2.

3. The compound of Formula I as claimed in claim 2 wherein the DNA targeting unit is selected from one of formulae IV, V, VI, VII, VIII, or IX.

4. The compound of Formula I as claimed in claim 2 or claim 3 wherein D of the DNA targeting unit of Formulae II - X is H or Me.

5. The compound of Formula I as claimed in any one of claims 1 to 4 wherein X is NH or  $CH_2$ .

6. The compound of Formula I as claimed in any one of claims 1 to 5 wherein  $Y_1$

and  $Y_2$  each represent H.

7. The compound of Formula I as claimed in any one of claims 1 to 5 wherein  $Y_1$  represents OMe.
8. The compound of Formula I as claimed in any one of claims 1 to 7 wherein A is selected from  $-(CH_2)_6NH-$ ,  $-(CH_2)_3NH(CH_2)_3NHCO-$ ,  $-(CH_2)_3NMe(CH_2)_3NHCO-$ ,  $-(CH_2)_3NH-$ ,  $-(CH_2)_2NH(CH_2)_2NHCO-$  or  $-(CH_2)_2NMe(CH_2)_2NHCO-$ .
9. The compound of Formula I as claimed in claim 2 wherein X is  $NH-$ ,  $Y_1$  is H,  $Y_2$  is H, A is  $-(CH_2)_6NH-$ , the DNA targeting unit represents formula VII and D is H.
10. The compound of Formula I as claimed in claim 2 wherein X is  $NH-$ ,  $Y_1$  is H,  $Y_2$  is H, A is  $-(CH_2)_3NH(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H.
11. The compound of Formula I as claimed in claim 2 wherein X is  $NH-$ ,  $Y_1$  is H,  $Y_2$  is H, A is  $-(CH_2)_2NH(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VIII and D is H.
12. The compound of Formula I as claimed in claim 2 wherein X is  $NH-$ ,  $Y_1$  is H,  $Y_2$  is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H.
13. The compound of Formula I as claimed in claim 2 wherein X is  $NH-$ ,  $Y_1$  is H,  $Y_2$  is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula IV and D is H.
14. The compound of Formula I as claimed in claim 2 wherein X is  $NH-$ ,  $Y_1$  is H,  $Y_2$  is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VI and D is H.

15. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is Me.

16. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula IX and D is Me.

17. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is 7-MeOCH<sub>2</sub>CH<sub>2</sub>O-, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H.

18. The compound of Formula I as claimed in claim 2 wherein X is CH<sub>2</sub>-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H.

19. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula XI and D is H.

20. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is 7-Me, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMeH(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H.

21. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is 7-Me, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VI and D is H.

22. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is 6-Me, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H.

23. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is 6-Me, Y<sub>2</sub> is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents

formula VI and D is H.

24. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VIII and D is H.

25. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VI and D is H.

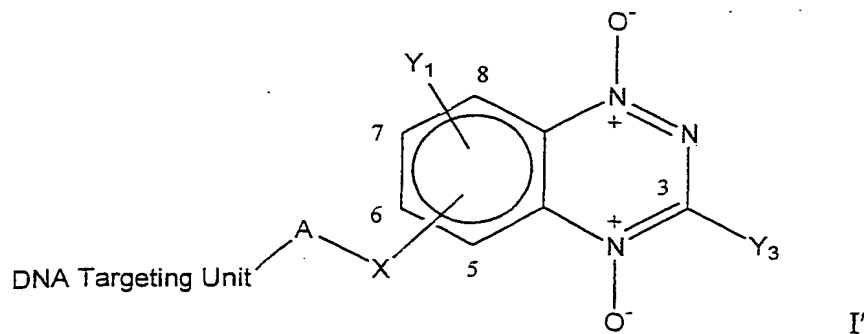
26. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_2NHCO-$ , the DNA targeting unit represents formula XI and D is Me.

27. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VIII and D is Me.

28. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NH(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VI and D is H.

29. The compound of Formula I as claimed in claim 2 wherein X is NH-, Y<sub>1</sub> is H, Y<sub>2</sub> is H, A is  $-(CH_2)_2NH(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VIII and D is Me.

30. A compound of Formula I',



wherein

Y<sub>1</sub> represents at one or more of the available carbons 5-8 on the benzo ring the following groups: halo, H, R, OH, OR, NO<sub>2</sub>, NH<sub>2</sub>, NHR, NR<sub>2</sub>, SH, SR, SO<sub>2</sub>R, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R, CHO, COR, CONH<sub>2</sub>, CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

Y<sub>3</sub> is selected from the following groups halo, H, R, OR, NH<sub>2</sub>, NHR, NR<sub>2</sub>, SO<sub>2</sub>R, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R, CHO, COR, CONH<sub>2</sub>, CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

wherein each R of groups Y<sub>1</sub> and Y<sub>3</sub> is independently selected from an optionally substituted C<sub>1-6</sub> alicyclic or an optionally substituted C<sub>3-6</sub> cyclic alkyl group, and wherein the optional substituents are each independently selected from; halo, OH, OR<sup>1</sup>, NO<sub>2</sub>, NH<sub>2</sub>, NHR<sup>1</sup>, NR<sup>1</sup>R<sup>1</sup>, SH, SR<sup>1</sup>, imidazolyl, R<sup>1</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>1</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>1</sup>, CHO, COR<sup>1</sup>, CONH<sub>2</sub>, CONHR<sup>1</sup>, CONR<sup>1</sup>R<sup>1</sup>;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR<sup>1</sup>, NH<sub>2</sub>, NHR<sup>1</sup>, NR<sup>1</sup>R<sup>1</sup>, SH, SR<sup>1</sup>, imidazolyl, R<sup>1</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>1</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>1</sup>, CHO, COR<sup>1</sup>, CONH<sub>2</sub>, CONHR<sup>1</sup>, CONR<sup>1</sup>R<sup>1</sup>, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each R<sup>1</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>2</sup>, NR<sup>2</sup><sub>2</sub> or N(OH)R<sup>2</sup> wherein each R<sup>2</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH, and wherein X represents NH, NMe, CH<sub>2</sub>, SO, SO<sub>2</sub>, or O;

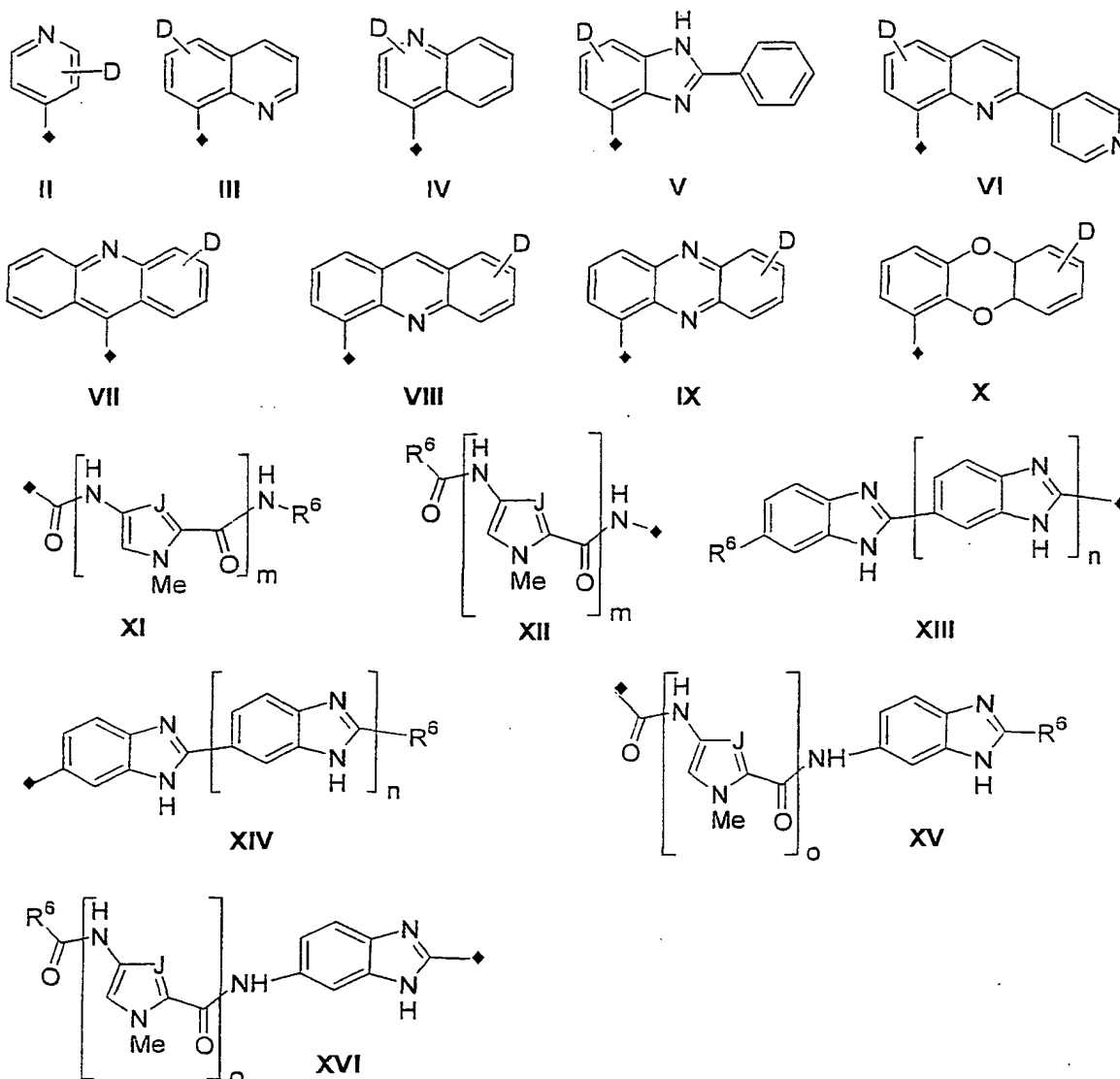
wherein A represents an optionally substituted C<sub>1-12</sub> alkyl group wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>3</sup>, NR<sup>3</sup><sub>2</sub> or N(OH)R<sup>3</sup> wherein each R<sup>3</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the optionally substituted C<sub>2-12</sub> alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR<sup>4</sup>, CONH, CONR<sup>4</sup>, NHCO, NR<sup>4</sup>CO, wherein each



R<sup>4</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional R<sup>4</sup> substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>5</sup>, NR<sup>5</sup><sub>2</sub> or N(OH)R<sup>5</sup> wherein each R<sup>5</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and

wherein the DNA-targeting unit is any moiety of a molecular weight below 700 Daltons that has an association constant (K) for binding to double-stranded random-sequence DNA of  $>10^3 \text{ M}^{-1}$  at an ionic strength of 0.01 M at 20 °C, or a pharmacologically acceptable salt thereof.

31. The compound of Formula I' as claimed in claim 30 wherein the DNA-targeting unit is selected from one of formulae II- XVI,



wherein in structures **XI** - **XVI**  $R^6$  is independently selected from an optionally substituted  $C_{1-6}$  alicyclic or an optionally substituted  $C_{3-6}$  cyclic alkyl group, and

5 wherein the optional substituents are each independently selected from; halo, OH,  $OR^7$ ,  $NO_2$ ,  $NH_2$ ,  $NHR^7$ ,  $NR^7R^7$ ,  $SR^7$ , imidazolyl,  $R^7$ -piperazinyl, morpholino,  $SO_2R^7$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^7$ , CHO,  $COR^7$ ,  $CONH_2$ ,  $CONHR^7$ ,  $CONR^7R^7$ ;

$R^6$  can also represent an optionally substituted aryl or an optionally substituted

10 heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH,  $OR^7$ ,  $NH_2$ ,  $NHR^7$ ,  $NR^7R^7$ , SH,  $SR^7$ , imidazolyl,  $R^7$ -piperazinyl, morpholino,  $SO_2R^7$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^7$ , CHO,  $COR^7$ ,  $CONH_2$ ,  $CONHR^7$ ,  $CONR^7R^7$ , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N

or S;

wherein each  $R^7$  is independently selected from an optionally substituted  $C_{1-4}$  alkyl or an optionally substituted  $C_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH,  $OR^8$ ,  $NH_2$ ,  $NHR^8$ ,  $NR^8_2$  or  $N(OH)R^8$

5 wherein each  $R^8$  is independently selected from  $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl, OH,  $NO_2$ ,  $NH_2$ ,  $CF_3$ , CN,  $CO_2H$  or SH;

D represents up to four of the following groups as substituents at any available ring carbon position; H,  $R^9$ , hydroxy, alkoxy, halogen,  $NO_2$ ,  $NH_2$ ,  $NHR^9$ ,  $NR^9_2$ , SH,  $SR^9$ ,  $SO_2R^9$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^9$ , CHO,  $COR^9$ ,  $CONH_2$ ,  $CONHR^9$  or  $CONR^9R^9$ ,

10 cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino, wherein each  $R^9$  independently selected from an optionally substituted  $C_{1-4}$  alkyl or an optionally substituted  $C_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH,  $OR^{10}$ ,  $NH_2$ ,  $NHR^{10}$ ,  $NR^{10}_2$  or  $N(OH)R^{10}$  wherein each  $R^{10}$  is independently selected from  $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl, OH,  $NO_2$ ,  $NH_2$ ,  $CF_3$ ,

15 CN,  $CO_2H$  or SH; and wherein any available ring carbon position of formulae **II-XVI** can also be optionally replaced by -N- when the valency and configuration of the formula allows, the point of attachment of formulae **II-XVI** to the A group defined above is represented by  $\blacklozenge$ ; and

wherein in formulae **XI** and **XII**, m is selected from 2, 3 or 4, and

20 wherein in formulae **XI**, **XII**, **XV** or **XVI** J is selected from CH or N; and

wherein in formulae **XIII** and **XIV** n is selected from 0, 1 or 2, and

wherein in formulae **XV** and **XVI** o is selected from 1 or 2.

25 32. The compound of Formula I' as claimed in claim 31 wherein the DNA targeting unit is selected from one of formulae **III - IX**.

33. The compound of Formula I' as claimed in claim 31 or claim 32 wherein D of the DNA targeting unit of Formulae **II - X** is H or Me.

30 34. The compound of Formula I' as claimed in any one of claims 30 to 33 wherein X is O, NH or  $CH_2$ .

35. The compound of Formula I' as claimed in any one of claims 30 to 34 wherein

$Y_1$  represents H.

36. The compound of Formula I' as claimed in any one of claims 30 to 35 wherein A is selected from  $-(CH_2)_6NH-$ ,  $-(CH_2)_3NH(CH_2)_3NHCO-$ ,  $-(CH_2)_3NMe(CH_2)_3NHCO-$ ,  $-(CH_2)_3NH-$ ,  $-(CH_2)_2NH(CH_2)_2NHCO-$  or  $-(CH_2)_2NMe(CH_2)_2NHCO-$ .

37. The compound of Formula I' as claimed in claim 31 wherein X is O-,  $Y_1$  is H, A is  $-(CH_2)_3NH(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VI and D is H.

38. The compound of Formula I' as claimed in claim 31 wherein X is O-,  $Y_1$  is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VI and D is H;

39. The compound of Formula I' as claimed in claim 31 wherein X is O-,  $Y_1$  is H, A is  $-(CH_2)_2NH(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VI and D is H;

40. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y is H, A is  $-(CH_2)_2NMe(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VI and D is H;

41. The compound of Formula I' as claimed in claim 31 wherein X is O-,  $Y_1$  is H, A is  $-(CH_2)_3NH(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H;

42. The compound of Formula I' as claimed in claim 31 wherein X is O-,  $Y_1$  is H, A is  $-(CH_2)_3NMe(CH_2)_3NHCO-$ , the DNA targeting unit represents formula VIII and D is H;

43. The compound of Formula I' as claimed in claim 31 wherein X is O-,  $Y_1$  is H, A is  $-(CH_2)_2NH(CH_2)_2NHCO-$ , the DNA targeting unit represents formula VIII

and D is H;

44. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H,  
A is  $-(\text{CH}_2)_2\text{NMe}(\text{CH}_2)_2\text{NHCO}-$ , the DNA targeting unit represents formula  
VIII and D is H;

45. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H,  
A is  $-(\text{CH}_2)_3\text{NH}(\text{CH}_2)_3\text{NHCO}-$ , the DNA targeting unit represents formula  
VIII and D is Me;

46. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H,  
A is  $-(\text{CH}_2)_3\text{NMe}(\text{CH}_2)_3\text{NHCO}-$ , the DNA targeting unit represents formula  
VIII and D is Me;

47. The compound of Formula I' as claimed in claim 31 X is O-, Y<sub>1</sub> is H, A is  
 $-(\text{CH}_2)_2\text{NH}(\text{CH}_2)_2\text{NHCO}-$ , the DNA targeting unit represents formula VIII  
and D is Me;

48. The compound of Formula I' as claimed in claim 31 X is O-, Y<sub>1</sub> is H, A is  
 $-(\text{CH}_2)_2\text{NMe}(\text{CH}_2)_2\text{NHCO}-$ , the DNA targeting unit represents formula VIII  
and D is Me;

49. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H,  
A is  $-(\text{CH}_2)_3\text{NH}(\text{CH}_2)_3\text{NHCO}-$ , the DNA targeting unit represents formula IX  
and D is Me.

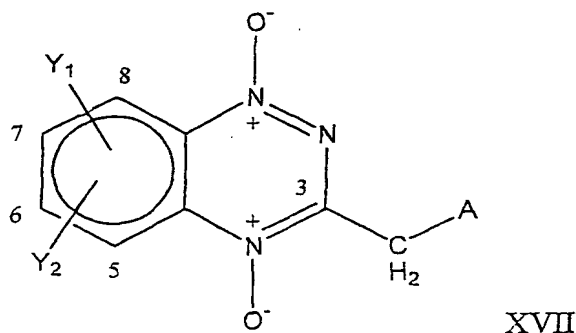
50. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H,  
A is  $-(\text{CH}_2)_3\text{NMe}(\text{CH}_2)_3\text{NHCO}-$ , the DNA targeting unit represents formula  
IX and D is Me;

51. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H,  
A is  $-(\text{CH}_2)_2\text{NH}(\text{CH}_2)_2\text{NHCO}-$ , the DNA targeting unit represents formula IX  
and D is Me;

52. The compound of Formula I' as claimed in claim 31 wherein X is O-, Y<sub>1</sub> is H, A is  $-(CH_2)_2NMe(CH_2)_2NHCO-$ , the DNA targeting unit represents formula XI and D is Me
53. The compounds of Formula I' as claimed in any one of claims 30 to 52, wherein Y<sub>3</sub> represents CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub> or NHCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>.
54. A method of therapy for treating cancers including the step of administering a compound of Formula I as defined in any one of claims 1 to 29 or a compound of Formula I' as defined in any one of claims 30 to 53 or a mixture thereof in a therapeutically effective amount to tumour cells in a subject.
55. The method of therapy according to claim 54 wherein the tumour cells are in a hypoxic environment.
56. The method of therapy according to claim 54 or claim 55 further including the step of administering radiotherapy to the tumor cells before, during or after the administration of the compound of Formula I as defined in any one of claims 1 to 29 or a compound of Formula I' as claimed in any one of claims 30 to 53 or a mixture thereof to the tumour cells.
57. The method of therapy according to any one of claims 54 to 56 further including the step of administering one or more chemotherapeutic agents to the tumor cells before, during or after the administration of the compound of Formula I as defined in any one of claims 1 to 29 or a compound of Formula I' as defined in any one of claims 30 to 53 or a mixture thereof to the tumour cells.
58. The method according to any one of claims 54 to 57 wherein the therapy can be administered alone or in combination with other chemotherapeutic agents or treatments, either simultaneously or sequentially dependent upon the condition to be treated.

60. The method according to claim 59 wherein the chemotherapeutic agents are selected from one or more of :Cisplatin or other platinum-based derivatives, Temozolomide or other DNA methylating agents, Cyclophosphamide or other DNA alkylating agents, Doxorubicin, mitoxantrone, camptothecin or other topoisomerase inhibitors, Methotrexate, gemcitabine or other antimetabolites.

62. A method of making a compound of formula XVII



Y<sub>1</sub> and Y<sub>2</sub> at one or more of the available carbons 5-8 on the benzo ring: are each independently selected from the following groups: halo, H, R, OH, OR, NO<sub>2</sub>, NH<sub>2</sub>, NHR, NR<sub>2</sub>, SH, SR, SO<sub>2</sub>R, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R, CHO, COR, CONH<sub>2</sub>, CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

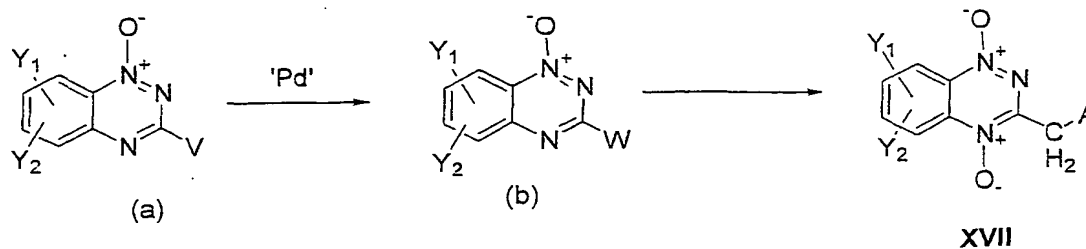
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R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR<sup>1</sup>, NH<sub>2</sub>, NHR<sup>1</sup>, NR<sup>1</sup>R<sup>1</sup>, SH, SR<sup>1</sup>, imidazolyl, R<sup>1</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>1</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>1</sup>, CHO, COR<sup>1</sup>, CONH<sub>2</sub>, CONHR<sup>1</sup>, CONR<sup>1</sup>R<sup>1</sup>, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each R<sup>1</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>2</sup>, NR<sup>2</sup><sub>2</sub> or N(OH)R<sup>2</sup> wherein each R<sup>2</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH, and

A represents an optionally substituted C<sub>1-12</sub> alkyl group wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>3</sup>, NR<sup>3</sup><sub>2</sub>, or N(OH)R<sup>3</sup> wherein each R<sup>3</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the optionally substituted C<sub>1-12</sub> alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR<sup>4</sup>, CONH, CONR<sup>4</sup>, NHCO, NR<sup>4</sup>CO, where each R<sup>4</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional R<sup>4</sup> substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>5</sup>, NR<sup>5</sup><sub>2</sub> or N(OH)R<sup>5</sup> wherein each R<sup>5</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; or a pharmacologically acceptable salt thereof,

including the step of coupling a compound (a) using a palladium reagent to form compound (b) which can then be converted into a compound of XVII as defined above;



wherein in compound (a)

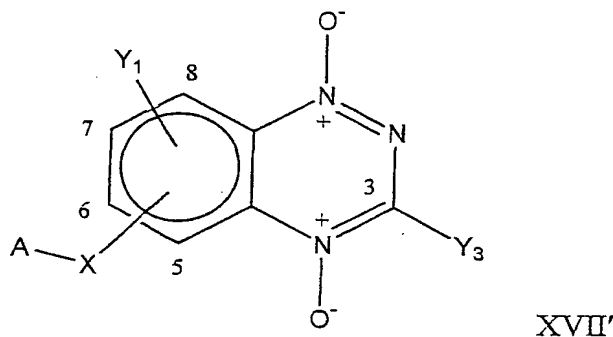
V is halogen selected from Cl, Br or I and Y<sub>1</sub>, Y<sub>2</sub> are as defined above in this



claim;

and wherein in compound (b)  $Y_1$ ,  $Y_2$  are as defined above in this claim, W is selected from an optionally substituted  $C_{1-12}$ alkyl, optionally substituted  $C_{2-12}$ alkenyl, and optionally substituted  $C_{2-12}$ alkynyl group, wherein the optional substituents is selected from halo, OH,  $OR^6$ ,  $NO_2$ ,  $NH_2$ ,  $NHR^6$ ,  $NR^6R^6$ , SH,  $SR^6$ , imidazolyl,  $R^6$ -piperazinyl, morpholino,  $SO_2R^6$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^6$ , CHO,  $COR^6$ ,  $CONH_2$ ,  $CONHR^6$ ,  $CONR^6R^6$ , wherein each  $R^6$  is independently selected from an optionally substituted  $C_{1-4}$  alkyl or an optionally substituted  $C_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH, OR,  $NH_2$ ,  $NHR^7$ ,  $NR^7_2$  or  $N(OH)R^7$  wherein each  $R^7$  is independently selected from  $C_{1-4}$  alkyl,  $C_{2-4}$  alkenyl, OH,  $NO_2$ ,  $NH_2$ ,  $CF_3$ , CN,  $CO_2H$  or SH.

63. A method of making a compound of formula XVII'



wherein  $Y_1$  represents at one or more of the available carbons 5-8 on the benzo ring the following groups: halo, H, R, OH, OR,  $NO_2$ ,  $NH_2$ ,  $NHR$ ,  $NR_2$ , SH, SR,  $SO_2R$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R$ , CHO, COR,  $CONH_2$ ,  $CONHR$  or  $CONRR$ , cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;  $Y_3$  is selected from the following groups H, R, OR,  $NH_2$ ,  $NHR$ ,  $NR_2$ ,  $SO_2R$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R$ , CHO, COR,  $CONH_2$ ,  $CONHR$  or  $CONRR$ , cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

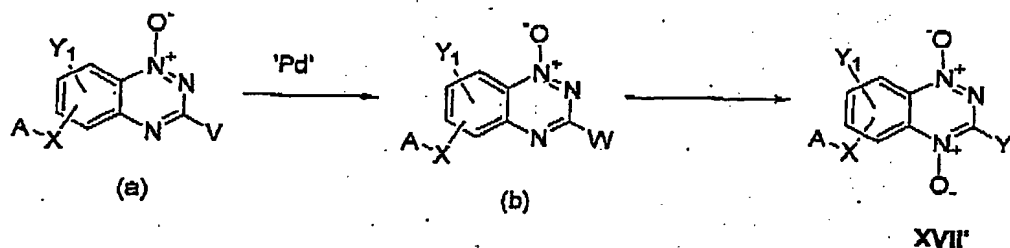
wherein each R of groups  $Y_1$  and  $Y_3$  is independently selected from an optionally substituted  $C_{1-6}$  alicyclic or an optionally substituted  $C_{3-6}$  cyclic alkyl group, and wherein the optional substituents are each independently selected from; halo, OH,  $OR^1$ ,  $NO_2$ ,  $NH_2$ ,  $NHR^1$ ,  $NR^1R^1$ , SH,  $SR^1$ , imidazolyl,  $R^1$ -piperazinyl, morpholino,  $SO_2R^1$ ,  $CF_3$ , CN,  $CO_2H$ ,  $CO_2R^1$ , CHO,  $COR^1$ ,  $CONH_2$ ,  $CONHR^1$ ,  $CONR^1R^1$ ;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH, OR<sup>1</sup>, NH<sub>2</sub>, NHR<sup>1</sup>, NR<sup>1</sup>R<sup>1</sup>, SH, SR<sup>1</sup>, imidazolyl, R<sup>1</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>1</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>1</sup>, CHO, COR<sup>1</sup>, CONH<sub>2</sub>, CONHR<sup>1</sup>, CONR<sup>1</sup>R<sup>1</sup>, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each R<sup>1</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>2</sup>, NR<sup>2</sup><sub>2</sub> or N(OH)R<sup>2</sup> wherein each R<sup>2</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH, and wherein X represents NH, NMe, CH<sub>2</sub>, SO, SO<sub>2</sub>, or O;

A represents an optionally substituted C<sub>1-12</sub> alkyl group wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>3</sup>, NR<sup>3</sup><sub>2</sub> or N(OH)R<sup>3</sup> wherein each R<sup>3</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the optionally substituted C<sub>1-12</sub> alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR<sup>4</sup>, CONH, CONR<sup>4</sup>, NHCO, NR<sup>4</sup>CO, wherein each R<sup>4</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional R<sup>4</sup> substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>5</sup>, NR<sup>5</sup><sub>2</sub> or N(OH)R<sup>5</sup> wherein each R<sup>5</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and or a pharmacologically acceptable salt thereof;

including the steps of coupling a compound (a) using a palladium reagent to form compound (b) which is then converted into a compound of XVII' as defined above in this claim;



wherein in compound (a) V is halogen which is selected from Cl, Br or I; Y<sub>1</sub>, X and A is as defined above in this claim;

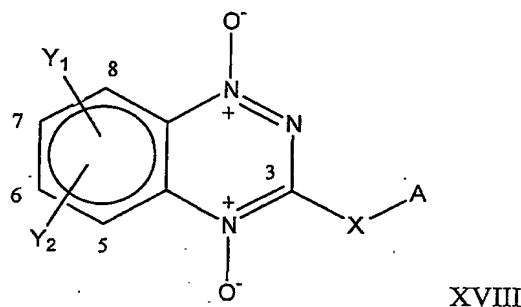
and wherein in compound (b) Y<sub>1</sub>, X and A are as defined above in this claim,

W is selected from an optionally substituted C<sub>1-12</sub>alkyl, optionally substituted C<sub>2-</sub>

12alkenyl, and optionally substituted C<sub>2-12</sub>alkynyl group, wherein the optional substituents is selected from halo, OH, OR<sup>6</sup>, NO<sub>2</sub>, NH<sub>2</sub>, NHR<sup>6</sup>, NR<sup>6</sup>R<sup>6</sup>, SH, SR<sup>6</sup>, imidazolyl, R<sup>6</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>6</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>6</sup>, CHO, COR<sup>6</sup>, CONH<sub>2</sub>, CONHR<sup>6</sup>, CONR<sup>6</sup>R<sup>6</sup>, wherein each R<sup>6</sup> is independently selected

from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>7</sup>, NR<sup>7</sup><sub>2</sub> or N(OH)R<sup>7</sup> wherein each R<sup>7</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH.

64. A compound of formula XVIII



wherein

Y<sub>1</sub> and Y<sub>2</sub> at one or more of the available carbons 5-8 on the benzo ring: are each independently selected from the following groups: halo, H, R, OH, OR, NO<sub>2</sub>, NH<sub>2</sub>, NHR, NR<sub>2</sub>, SH, SR, SO<sub>2</sub>R, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R, CHO, COR, CONH<sub>2</sub>, CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

wherein each R is independently selected from an optionally substituted C<sub>1-6</sub> alicyclic or an optionally substituted C<sub>3-6</sub> cyclic alkyl group, and wherein the

optional substituents are each independently selected from: halo, OH, OR<sup>1</sup>, NO<sub>2</sub>, NH<sub>2</sub>, NHR<sup>1</sup>, NR<sup>1</sup>R<sup>1</sup>, SH, SR<sup>1</sup>, imidazolyl, R<sup>1</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>1</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>1</sup>, CHO, COR<sup>1</sup>, CONH<sub>2</sub>, CONHR<sup>1</sup>, CONR<sup>1</sup>R<sup>1</sup>;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents

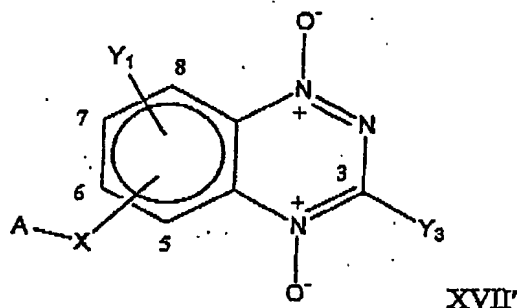
are each independently selected from; halo, OH, OR<sup>1</sup>, NH<sub>2</sub>, NHR<sup>1</sup>, NR<sup>1</sup>R<sup>1</sup>, SH, SR<sup>1</sup>, imidazolyl, R<sup>1</sup>-piperazinyl, morpholino, SO<sub>2</sub>R<sup>1</sup>, CF<sub>3</sub>, CN, CO<sub>2</sub>H, CO<sub>2</sub>R<sup>1</sup>, CHO, COR<sup>1</sup>, CONH<sub>2</sub>, CONHR<sup>1</sup>, CONR<sup>1</sup>R<sup>1</sup>, and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O,  
5 N or S;

wherein each R<sup>1</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>2</sup>, NR<sup>2</sup><sub>2</sub> or N(OH)R<sup>2</sup> wherein each R<sup>2</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>,  
10 NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH, and wherein X represents NH, NMe, CH<sub>2</sub>, SO, SO<sub>2</sub>, or O;

A represents an optionally substituted C<sub>1-12</sub> alkyl group wherein the optional substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>3</sup>, NR<sup>3</sup><sub>2</sub>, or N(OH)R<sup>3</sup> wherein each R<sup>3</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the optionally substituted C<sub>1-12</sub> alkyl chain is optionally interrupted by one or more heteroatom containing linkage moieties selected from O, NH, NR<sup>4</sup>, CONH, CONR<sup>4</sup>, NHCO, NR<sup>4</sup>CO, wherein each R<sup>4</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally substituted C<sub>2-4</sub> alkenyl group and wherein the optional R<sup>4</sup> substituents are each  
15 independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>5</sup>, NR<sup>5</sup><sub>2</sub> or N(OH)R<sup>5</sup> wherein each R<sup>5</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; or a pharmacologically acceptable salt thereof, with the proviso that:

3-amino 6 or 7-decyl-1,2,4-benzotriazine 1,4 dioxide,  
3-(3-N,N-diethylaminopropylamino)-1,2,4-benzotriazine 1,4 dioxide,  
25 7-nitro-3-(2-N,N-diethylaminoethylamino)-1,2,4-benzotriazine 1,4 dioxide,  
3-(2-methoxyethyl)-1,2,4-benzotriazine 1,4 dioxide,  
3-amino 6 or 7-methoxy-1,2,4-benzotriazine 1,4 dioxide,  
N methyl, 3-amino-1,2,4-benzotriazine 1,4 dioxide,  
3-ethyl-1,2,4-benzotriazine 1,4 dioxide,  
30 3-propyl-1,2,4-benzotriazine 1,4 dioxide and  
3-methoxy, 1,2,4-benzotriazine 1,4 dioxide are excluded.

65. A compound of formula XVII'



wherein

$Y_1$  represents at one or more of the available carbons 5-8 on the benzo ring the following groups: halo, H, R, OH, OR,  $\text{NO}_2$ ,  $\text{NH}_2$ , NHR,  $\text{NR}_2$ , SH, SR,  $\text{SO}_2\text{R}$ ,  $\text{CF}_3$ , CN,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}$ , CHO, COR,  $\text{CONH}_2$ , CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino;

$Y_3$  is selected from the following groups H, R, OR,  $\text{NH}_2$ , NHR,  $\text{NR}_2$ ,  $\text{SO}_2\text{R}$ ,  $\text{CF}_3$ , CN,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}$ , CHO, COR,  $\text{CONH}_2$ , CONHR or CONRR, cyclic alkylamino, imidazolyl, alkylpiperazinyl and morpholino

wherein each R of groups  $Y_1$  and  $Y_3$  is independently selected from an optionally substituted  $\text{C}_{1-6}$  alicyclic or an optionally substituted  $\text{C}_{3-6}$  cyclic alkyl group, and

wherein the optional substituents are each independently selected from; halo, OH,  $\text{OR}^1$ ,  $\text{NO}_2$ ,  $\text{NH}_2$ ,  $\text{NHR}^1$ ,  $\text{NR}^1\text{R}^1$ , SH,  $\text{SR}^1$ , imidazolyl,  $\text{R}^1$ -piperazinyl, morpholino,  $\text{SO}_2\text{R}^1$ ,  $\text{CF}_3$ , CN,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}^1$ , CHO,  $\text{COR}^1$ ,  $\text{CONH}_2$ ,  $\text{CONHR}^1$ ,  $\text{CONR}^1\text{R}^1$ ;

R can also represent an optionally substituted aryl or an optionally substituted heteroaryl group having up to 12 carbon atoms, and wherein the optional substituents are each independently selected from; halo, OH,  $\text{OR}^1$ ,  $\text{NH}_2$ ,  $\text{NHR}^1$ ,  $\text{NR}^1\text{R}^1$ , SH,  $\text{SR}^1$ , imidazolyl,  $\text{R}^1$ -piperazinyl, morpholino,  $\text{SO}_2\text{R}^1$ ,  $\text{CF}_3$ , CN,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}^1$ , CHO,  $\text{COR}^1$ ,  $\text{CONH}_2$ ,  $\text{CONHR}^1$ ,  $\text{CONR}^1\text{R}^1$ , and each heteroaryl group contains one or more heteroatoms in its ring system which are each independently selected from O, N or S;

wherein each  $\text{R}^1$  is independently selected from an optionally substituted  $\text{C}_{1-4}$  alkyl or an optionally substituted  $\text{C}_{2-4}$  alkenyl group and wherein the optional substituents are each independently selected from OH, OR,  $\text{NH}_2$ , NHR<sup>2</sup>,  $\text{NR}^2_2$  or  $\text{N}(\text{OH})\text{R}^2$  wherein each  $\text{R}^2$  is independently selected from  $\text{C}_{1-4}$  alkyl,  $\text{C}_{2-4}$  alkenyl,

OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH, and  
wherein X represents NH, NMe, CH<sub>2</sub>, SO, SO<sub>2</sub>, or O;

A represents an optionally substituted C<sub>1-12</sub> alkyl group wherein the optional  
substituents are each independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>3</sup>, NR<sup>3</sup><sub>2</sub> or  
5 N(OH)R<sup>3</sup> wherein each R<sup>3</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl,  
OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN, CO<sub>2</sub>H or SH; and wherein the optionally substituted C<sub>1-12</sub>  
alkyl chain is optionally interrupted by one or more heteroatom containing linkage  
moieties selected from O, NH, NR<sup>4</sup>, CONH, CONR<sup>4</sup>, NHCO, NR<sup>4</sup>CO, wherein each  
10 R<sup>4</sup> is independently selected from an optionally substituted C<sub>1-4</sub> alkyl or an optionally  
substituted C<sub>2-4</sub> alkenyl group and wherein the optional R<sup>4</sup> substituents are each  
independently selected from OH, OR, NH<sub>2</sub>, NHR<sup>5</sup>, NR<sup>5</sup><sub>2</sub> or N(OH)R<sup>5</sup> wherein each  
R<sup>5</sup> is independently selected from C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, CN,  
CO<sub>2</sub>H or SH; and

wherein X represents NH, NMe, CH<sub>2</sub>, SO, SO<sub>2</sub>, or O;

15 or a pharmacologically acceptable salt thereof, with the proviso that:  
3-amino 6 or 7-decyl-1,2,4-benzotriazine 1,4 dioxide,  
1,2 propanediol 3-[(1,4 dioxide-1,2,4-benzotriazine-7-yl)oxy] are excluded.

66. A method of making a compound of Formula I defined above in any one of  
20 claims 1 to 29 including the steps of

1 preparing a compound of Formula XVIII as defined above in claim 64;  
and

2 coupling the compound of Formula XVIII with a DNA targeting agent  
as defined in claim 2 to provide a compound of Formula I.

67. A method of making a compound of Formula I' defined in any one of claims  
25 30 to 53 including the steps of

1 preparing a compound of Formula XVII' as defined above in claim 65; and

2 coupling the compound of Formula XVII' with a DNA targeting agent  
30 as defined above in claim 31 to provide a compound of Formula I'.

AUCKLAND UNISERVICES LIMITED

By Its Attorneys  
BALDWINS